

GJE-7230

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

14 APR 2005

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date
3 June 2004 (03.06.2004)

PCT

(10) International Publication Number
WO 2004/045625 A1

(51) International Patent Classification⁷: A61K 31/695,
31/341, 31/381, 31/4025, C07F 7/08, C07D 207/32,
307/46, 333/22

Cambridge CB2 1EW (GB). TACKE, Reinhold [DE/DE];
Dornbuschweg 33, 97249 Eisingen (DE). DAISS, Jurgen
[DE/DE]; Zweierweg 46, 97074 Wurzburg (DE).

(21) International Application Number:
PCT/GB2003/005015

(74) Agent: GILL JENNINGS & EVERY; Broadgate House,
7 Eldon Street, London EC2M 7LH (GB).

(22) International Filing Date:
19 November 2003 (19.11.2003)

(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR,
CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN,
MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language: English
(26) Publication Language: English
(30) Priority Data:
0227131.0 20 November 2002 (20.11.2002) GB
0310472.6 7 May 2003 (07.05.2003) GB
0317283.0 23 July 2003 (23.07.2003) GB

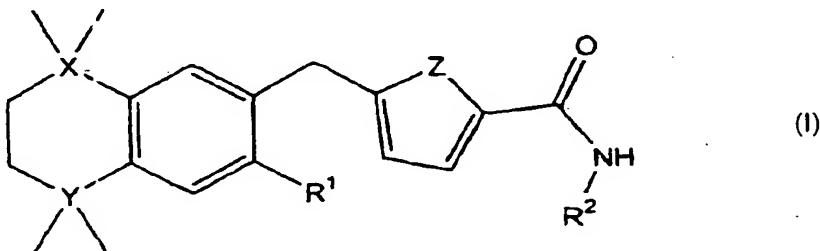
(84) Designated States (regional): ARIPO patent (BW, GH,
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE,
SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA,
GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (for all designated States except US): AMEDIS PHARMACEUTICALS LTD. [GB/GB]; 162 Cambridge Science Park, Milton Road, Cambridge CB4 0GP (GB).

Published:
— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: HETEROCYCLIC SILICON COMPOUNDS AND THEIR USE IN THE TREATMENT OF DISEASES OR CONDITIONS ASSOCIATED WITH GnRH (GONADOTROPIN-RELEASING HORMONE)



(57) Abstract: A compound of formula (I) wherein one of X and Y is silicon, and the other is carbon or silicon; Z is oxygen, sulphur or -N(R)-, wherein R is hydrogen or alkyl; R¹ is hydrogen, halogen, alkyl, alkenyl, alkynyl, alkoxy or cycloalkyl; and R² is alkyl, alkenyl, alkynyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, -alkyl-heterocycloalkyl, -alkyl-aryl or -alkyl-heteroaryl; or a pharmaceutically acceptable salt thereof. The compounds are used in the manufacture of a medicament for the treatment or prevention of a disease or condition associated with GnRH (gonadotropin-releasing hormone), e.g. for the treatment or prevention of progression of cancer (e.g. leukaemia therapy), of a fertility disorder, of HIV infection or AIDS, of Alzheimer's disease or fibrosis, of endometriosis, of uterine fibroids or of uterine leiomyoma.

WO 2004/045625 A1